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|---------|--------|--|
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| NEWS 2 | JUL 02 | LMEDLINE coverage updated |
| NEWS 3 | JUL 02 | SCISEARCH enhanced with complete author names |
| NEWS 4 | JUL 02 | CHEMCATS accession numbers revised |
| NEWS 5 | JUL 02 | CA/CAplus enhanced with utility model patents from China |
| NEWS 6 | JUL 16 | CAplus enhanced with French and German abstracts |
| NEWS 7 | JUL 18 | CA/CAplus patent coverage enhanced |
| NEWS 8 | JUL 26 | USPATFULL/USPAT2 enhanced with IPC reclassification |
| NEWS 9 | JUL 30 | USGENE now available on STN |
| NEWS 10 | AUG 06 | CAS REGISTRY enhanced with new experimental property tags |
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| NEWS 12 | AUG 13 | CA/CAplus enhanced with additional kind codes for granted patents |
| NEWS 13 | AUG 20 | CA/CAplus enhanced with CAS indexing in pre-1907 records |
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| NEWS 16 | AUG 28 | CAS REGISTRY enhanced with additional experimental spectral property data |
| NEWS 17 | SEP 07 | STN AnaVist, Version 2.0, now available with Derwent World Patents Index |
| NEWS 18 | SEP 13 | FORIS renamed to SOFIS |
| NEWS 19 | SEP 13 | INPADOCDB enhanced with monthly SDI frequency |
| NEWS 20 | SEP 17 | CA/CAplus enhanced with printed CA page images from 1967-1998 |
| NEWS 21 | SEP 17 | CAplus coverage extended to include traditional medicine patents |
| NEWS 22 | SEP 24 | EMBASE, EMBAL, and LEMBASE reloaded with enhancements |
| NEWS 23 | OCT 02 | CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt |
| NEWS 24 | OCT 19 | BEILSTEIN updated with new compounds |
| NEWS 25 | NOV 15 | Derwent Indian patent publication number format enhanced |
| NEWS 26 | NOV 19 | WPIX enhanced with XML display format |
| NEWS 27 | NOV 30 | ICSD reloaded with enhancements |
| NEWS 28 | DEC 04 | LINPADOCDB now available on STN |

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

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STRUCTURE FILE UPDATES: 11 DEC 2007 HIGHEST RN 957570-32-0
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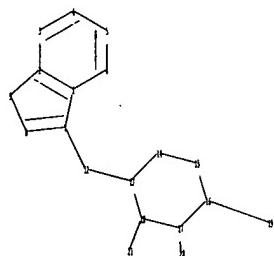
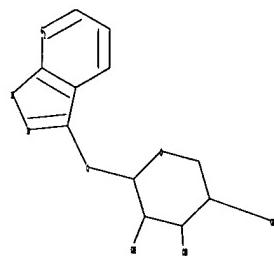
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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Uploading C:\Program Files\Stnexp\Queries\10591757a.str



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12 19 20 21

ring nodes :

1 2 3 4 5 6 7 8 9 13 14 15 16 17 18

chain bonds :

7-12 12-13 16-19 17-20 18-21

ring bonds :

1-2 1-6 1-7 2-3 2-9 3-4 4-5 5-6 7-8 8-9 13-14 13-18 14-15 15-16 16-17
17-18

exact/norm bonds :

1-2 1-6 1-7 2-3 2-9 3-4 4-5 5-6 7-8 7-12 8-9 12-13 13-14 13-18 14-15
15-16 16-17 16-19 17-18 17-20 18-21

isolated ring systems :

containing 1 : 13 :

G1:C,N

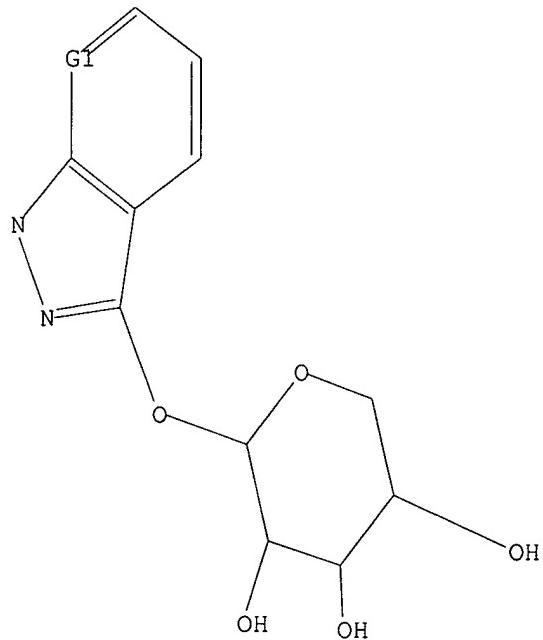
G2:C,O,S,N

Match level :

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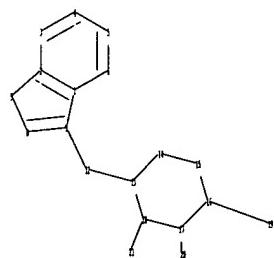
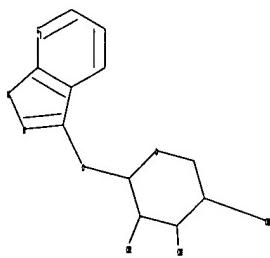
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G1 C,N  
G2 C,O,S,N
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Structure attributes must be viewed using STN Express query preparation.

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chain nodes :
12 19 20 21
ring nodes :
1 2 3 4 5 6 7 8 9 13 14 15 16 17 18
chain bonds :
7-12 12-13 16-19 17-20 18-21
ring bonds :
1-2 1-6 1-7 2-3 2-9 3-4 4-5 5-6 7-8 8-9 13-14 13-18 14-15 15-16 16-17
17-18
exact/norm bonds :
1-2 1-6 1-7 2-3 2-9 3-4 4-5 5-6 7-8 7-12 8-9 12-13 13-14 13-18 14-15
15-16 16-17 16-19 17-18 17-20 18-21
isolated ring systems :
containing 1 : 13 :

G1:C,N

G2:C,O,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS
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L2 STRUCTURE UPLOADED

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100.0% PROCESSED 20 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 132 TO 668
PROJECTED ANSWERS: 4 TO 200

L3 4 SEA SSS SAM L1

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FULL SCREEN SEARCH COMPLETED - 376 TO ITERATE

100.0% PROCESSED 376 ITERATIONS 88 ANSWERS
SEARCH TIME: 00.00.01

L4 88 SEA SSS FUL L1

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FULL ESTIMATED COST 172.55 172.76

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L5 1 L4

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ACCESSION NUMBER: 2005:1004761 CAPLUS

DOCUMENT NUMBER: 143:306497

TITLE: Preparation of nitrogenous fused-ring glycoside derivatives as inhibitors of human sodium-dependent glucose transporter (SGLT)

INVENTOR(S): Fushimi, Nobuhiko; Teranishi, Hirotaka; Shimizu, Kazuo; Yonekubo, Shigeru; Ito, Fumiaki; Isaji, Masaaki

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 169 pp.

CODEN: PXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

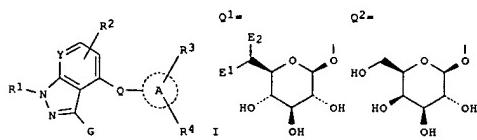
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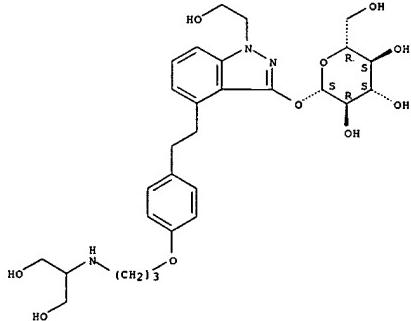
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|---|------|----------|------------------|------------|
| WO 2005085267 | A1 | 20050915 | WO 2005-JP4145 | 20050303 |
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| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2005219776 | A1 | 20050915 | AU 2005-219776 | 20050303 |
| CA 2557766 | A1 | 20050915 | CA 2005-2557766 | 20050303 |
| EP 1724278 | A1 | 20061122 | EP 2005-720416 | 20050303 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| CN 1950389 | A | 20070418 | CN 2005-80014287 | 20050303 |
| BR 2005008243 | A | 20070724 | BR 2005-8243 | 20050303 |
| MX 2006PA09899 | A | 20061211 | MX 2006-PA9899 | 20060831 |
| US 2007191289 | A1 | 20070816 | US 2006-591757 | 20060901 |
| IN 2006DN05080 | A | 20070622 | IN 2006-DN5080 | 20060904 |
| PRIORITY APPLN. INFO.: | | | JP 2004-61426 | A 20040304 |
| | | | WO 2005-JP4145 | W 20050303 |

OTHER SOURCE(S): MARPAT 143:306497

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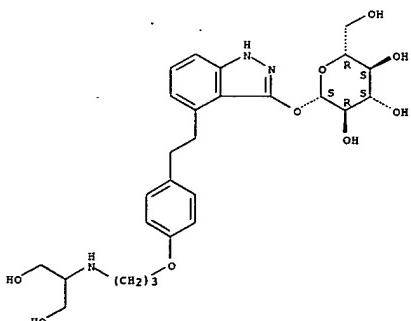
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RN 864846-28-6 CAPLUS

CN β -D-Glucopyranoside, 4-[2-{4-[3-[(2-hydroxy-1-(hydroxymethyl)ethyl]amino)propoxy]phenyl}ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

IT 864844-07-5P 864844-08-6P 864844-09-7P
864844-14-4P 864844-15-5P 864844-16-6P

AB Nitrogenous fused-ring glycoside derivs. such as

1H-pyrazolo[3,4-b]pyridin-3-yl β -D-glucopyranosides and 1H-indazol-3-yl β -D-glucopyranosides (R1 = H, Cl-6 alkyl, halo-Cl-6 alkyl, (di)hydroxy-Cl-6 alkyl, Cl-6 alkoxy-Cl-6 alkyl, C2-7 alkoxy carbonyl-Cl-6 alkyl, CO2H-Cl-6 alkyl, C2-6 alkenyl, each (un)substituted C3-7 cycloalkyl, C3-7 cycloalkyl-Cl-6 alkyl, C8-10 aryl, or C6-10 aryl-Cl-6 alkyl, etc.; R2 = H, halo, Cl-6 alkyl; R3, R4 = H, HO, halo, Cl-6 alkyl, C2-6 alkenylthio, halo-Cl-6 alkyl, halo-Cl-6 alkoxy, halo-C2-6 alkenyl, hydroxy-Cl-6 alkoxyl, etc.; Y = CH, N, O = Cl-6 alkylenes, C2-6 alkenylene, C2-6 alkylylene, C1-6 alkylene-O-, Cl-6 alkylene-S-, O-Cl-6 alkylene, CONH-Cl-6 alkylene, each N-(un)substituted CONH, NHCO, Cl-6 alkylenes-CONH, CONH-Cl-6 alkylene; the ring A = C6-10 aryl or heteroaryl; G = O1, O2; E1 = H, F, OH; EZ = H, F, Me, HOCH2) are prepared. These compds. exert human SGLT1 or SGLT2 inhibiting activity and are useful as suppressants of high serum glucose after eating or as preventive or therapeutic agents for diseases caused by hyperglycemia, for example, diabetes, postprandial hyperglycemia, impaired glucose tolerance, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertension, hyperglyceridemia, lipid metabolism disorder, atherosclerosis, ischemic heart failure, edema, hyperuricemia, and gout. Thus, a mixture of75 mg 4-bromo-3-(2,3,4,6-tetra-O-pivaloyl- β -D-glucopyranosyloxy)-1H-indazole, 33 mg styrene, 0.073 mL Et3N, 2 mg Pd(OAc)2, 6 mg tris(2-methylphenyl)phosphine, and 2 mL MeCN was refluxed overnight under Ar to give, after silica gel chromatog., 50 mg 4-[(E)-2-phenylethyl]-3-(2,3,4,6-tetra-O-pivaloyl- β -D-glucopyranosyloxy)-1H-indazole which (50 mg) was dissolved in 4 mL THF and hydrogenated in the presence of 10% Pd-C under H atmospheric for 5 h, filtered, and concentrated to give 50mg 4-(2-phenylethyl)-3-(2,3,4,6-tetra-O-pivaloyl- β -D-glucopyranosyloxy)-1H-indazole (II). II was stirred with NaOMe in MeOH at 50° overnight and treated with 0.04 mL AcOH to give, after silica gel chromatog., 21 mg 3-(β -D-glucopyranosyloxy)-4-(2-phenylethyl)-1H-indazole (III). III and 3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-4-(2-phenylethyl)-1H-pyrazolo[3,4-b]pyridine showed IC50 of 68 and 90 nM, resp., for inhibiting the uptake of 14C-labeled Me a-D-glucopyranoside CS2-SE cells.

IT 864844-68-8P 864844-28-6P

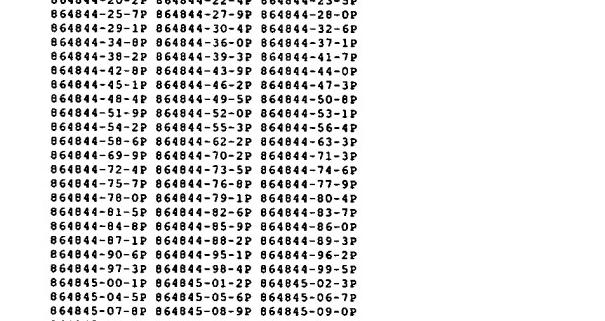
RN: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of nitrogenous fused-ring glycoside derivs. as inhibitors of human sodium-dependent glucose transporter (SGLT) for prevention or treatment of hyperglycemia)

RN 864844-68-8 CAPLUS

CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-[2-[4-[3-[(2-hydroxy-1-(hydroxymethyl)ethyl]amino)propoxy]phenyl]ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

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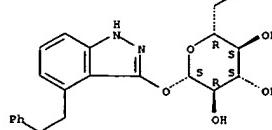
RN: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prep. of nitrogenous fused-ring glycoside derivs. as inhibitors of human sodium-dependent glucose transporter (SGLT) for prevention or treatment of hyperglycemia)

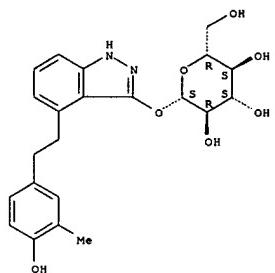
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Absolute stereochemistry.

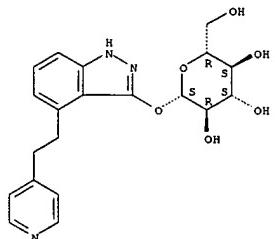
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Absolute stereochemistry.



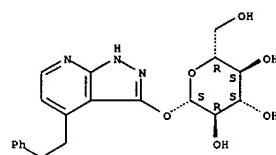
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Absolute stereochemistry.



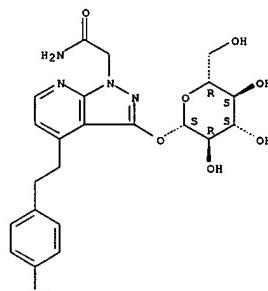
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Absolute stereochemistry.



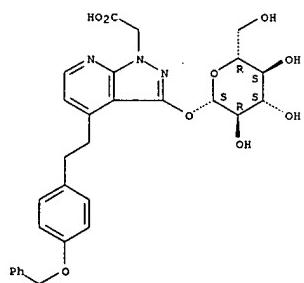
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Absolute stereochemistry.



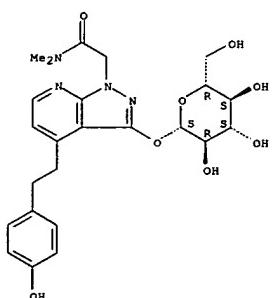
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Absolute stereochemistry.



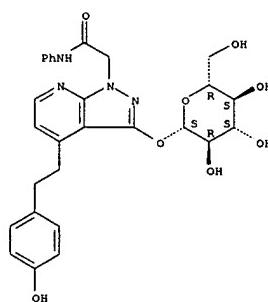
RN 864844-17-7 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-1-acetamide, 3-(β -D-glucopyranosyloxy)-4-[2-(4-hydroxyphenyl)ethyl]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



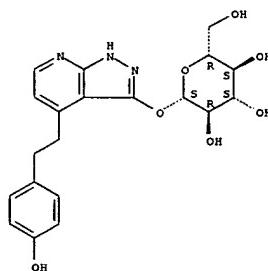
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CN 1H-Pyrazolo[3,4-b]pyridine-1-acetamide, 3-(β -D-glucopyranosyloxy)-4-[2-(4-hydroxyphenyl)ethyl]-N-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



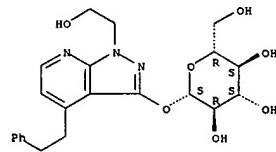
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Absolute stereochemistry.



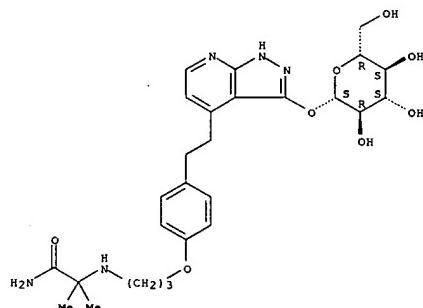
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Absolute stereochemistry.



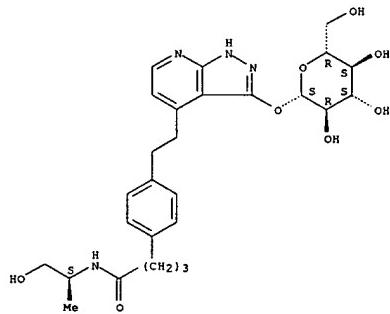
RN 864844-22-4 CAPLUS
CN Propanamide, 2-[3-[4-[2-[3-(β -D-glucopyranosyloxy)-1H-pyrazolo[3,4-b]pyridin-4-yl]ethyl]phenoxy]amino]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



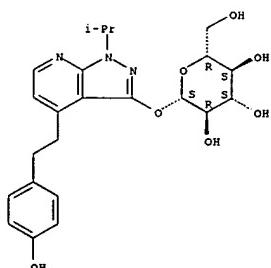
RN 864844-23-5 CAPLUS
CN β -D-Glucopyranoside, 4-[2-[3-[4-(2-hydroxyethyl)-1-piperazinyl]propoxy]phenyl]ethyl]-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

Absolute stereochemistry.



RN 864844-27-9 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-hydroxyphenyl)ethyl]-1-(1-methylethyl)-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

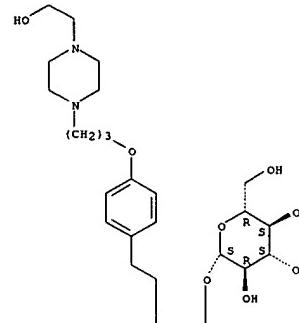
Absolute stereochemistry.



RN 864844-28-0 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-hydroxyphenyl)ethyl]-1-(2-methoxyethyl)-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

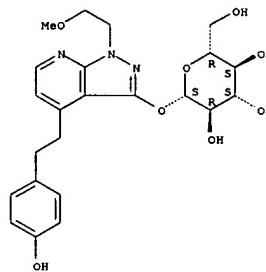
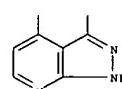
Absolute stereochemistry.

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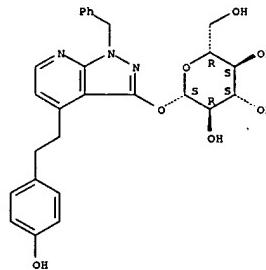
RN 864844-25-7 CAPLUS
CN Benzenebutanamide, 4-[2-(β -D-glucopyranosyloxy)-1H-pyrazolo[3,4-b]pyridin-4-yl]ethyl-N-((1S)-2-hydroxy-1-methylethyl)- (CA INDEX NAME)

Absolute stereochemistry.



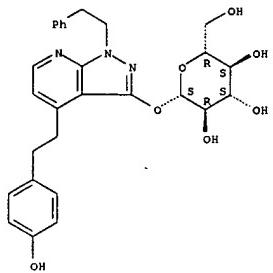
RN 864844-29-1 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-hydroxyphenyl)ethyl]-1-(phenylmethyl)-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

Absolute stereochemistry.



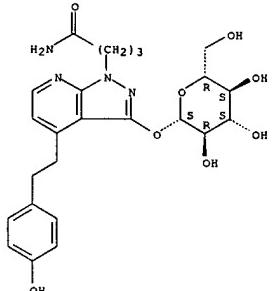
RN 864844-30-4 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-hydroxyphenyl)ethyl]-1-(2-phenylethyl)-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

Absolute stereochemistry.



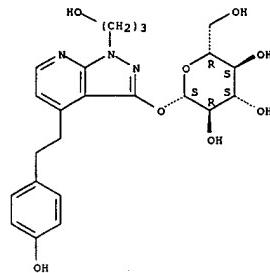
RN 864844-32-6 CAPLUS
 CN 1H-Pyrazolo[3,4-b]pyridine-1-butanamide, 3-(β -D-glucopyranosyloxy)-4-(2-(4-hydroxyphenyl)ethyl)- (CA INDEX NAME)

Absolute stereochemistry.



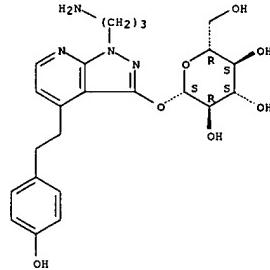
RN 864844-34-8 CAPLUS
 CN β -D-Glucopyranoside, 4-[2-(4-hydroxyphenyl)ethyl]-1-(3-hydroxypropyl)-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

Absolute stereochemistry.



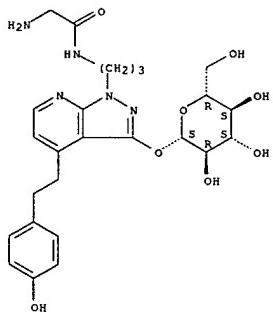
RN 864844-36-0 CAPLUS
 CN β -D-Glucopyranoside, 1-(3-aminopropyl)-4-(2-(4-hydroxyphenyl)ethyl)-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

Absolute stereochemistry.



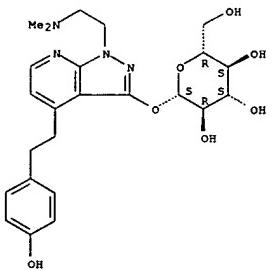
RN 864844-37-1 CAPLUS
 CN Acetamide, 2-amino-N-[3-[3-(β -D-glucopyranosyloxy)-4-[2-(4-hydroxyphenyl)ethyl]-1H-pyrazolo[3,4-b]pyridin-1-yl]propyl- (CA INDEX NAME)

Absolute stereochemistry.



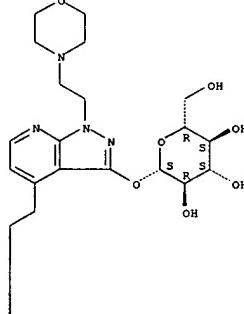
RN 864844-38-2 CAPLUS
 CN β -D-Glucopyranoside, 1-(2-(dimethylamino)ethyl)-4-(2-(4-hydroxyphenyl)ethyl)-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

Absolute stereochemistry.



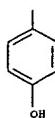
RN 864844-39-3 CAPLUS
 CN β -D-Glucopyranoside, 4-[2-(4-hydroxyphenyl)ethyl]-1-(2-(4-morpholinyl)ethyl)-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

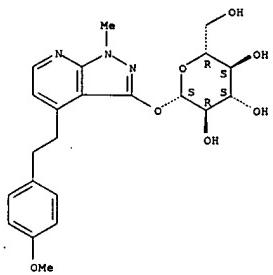
Absolute stereochemistry.



RN 864844-41-7 CAPLUS
 CN β -D-Glucopyranoside, 4-[2-(4-methoxyphenyl)ethyl]-1-methyl-1H-Pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

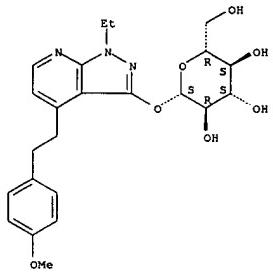
Absolute stereochemistry.





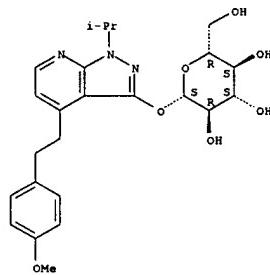
RN 864844-42-8 CAPLUS
CN β -D-Glucopyranoside, 1-ethyl-4-[2-(4-methoxyphenyl)ethyl]-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

Absolute stereochemistry.



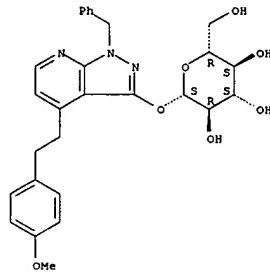
RN 864844-43-9 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-methoxyphenyl)ethyl]-1-(1-methylethyl)-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

Absolute stereochemistry.



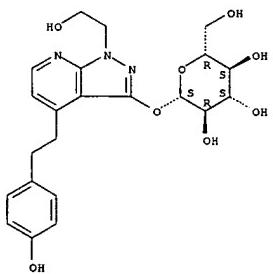
RN 864844-44-0 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-methoxyphenyl)ethyl]-1-(phenylmethyl)-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

Absolute stereochemistry.



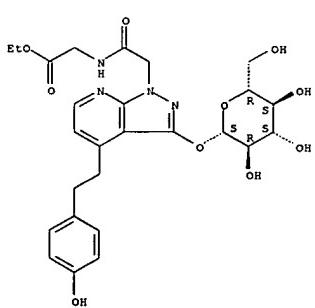
RN 864844-45-1 CAPLUS
CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-[2-(4-hydroxyphenyl)ethyl]-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

Absolute stereochemistry.



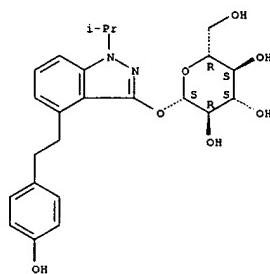
RN 864844-46-2 CAPLUS
CN Glycine, N-[(3-(β -D-glucopyranosyloxy)-4-[2-(4-hydroxyphenyl)ethyl]-1H-pyrazolo[3,4-b]pyridin-1-yl)acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



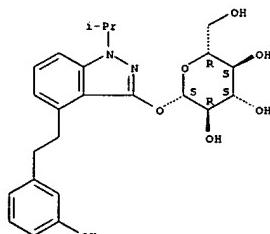
RN 864844-47-3 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-hydroxyphenyl)ethyl]-1-(1-methylethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



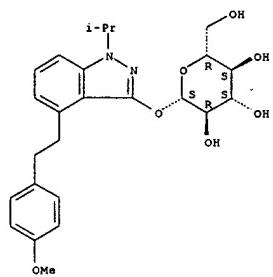
RN 864844-48-4 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(3-hydroxyphenyl)ethyl]-1-(1-methylethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



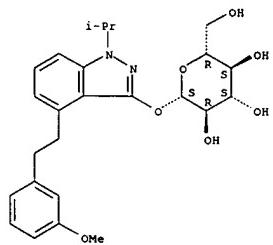
RN 864844-49-5 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-methoxyphenyl)ethyl]-1-(1-methylethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



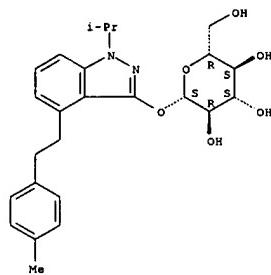
RN 864844-50-8 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(3-methoxyphenyl)ethyl]-1-(1-methylethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



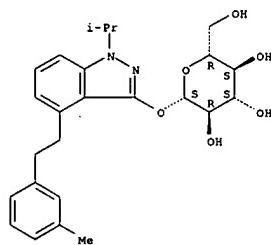
RN 864844-51-9 CAPLUS
CN β -D-Glucopyranoside, 1-(1-methylethyl)-4-[2-(4-methylphenyl)ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



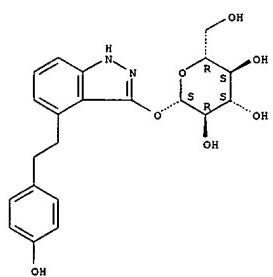
RN 864844-52-0 CAPLUS
CN β -D-Glucopyranoside, 1-(1-methylethyl)-4-(2-(3-methylphenyl)ethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



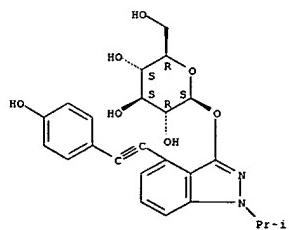
RN 864844-53-1 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-hydroxyphenyl)ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



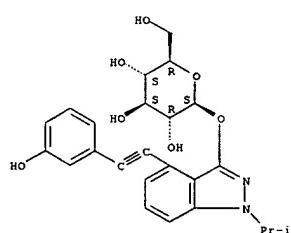
RN 864844-54-2 CAPLUS
CN β -D-Glucopyranoside, 4-[(4-hydroxyphenyl)ethynyl]-1-(1-methylethyl)-1H-indazol-3-yl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



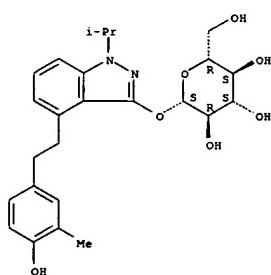
RN 864844-55-3 CAPLUS
CN β -D-Glucopyranoside, 4-[(3-hydroxyphenyl)ethynyl]-1-(1-methylethyl)-1H-indazol-3-yl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



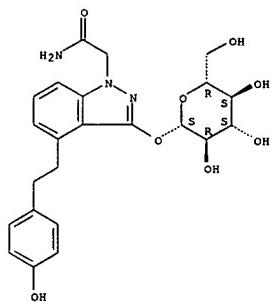
RN 864844-56-4 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-hydroxy-3-methylphenyl)ethyl]-1-(1-methylethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



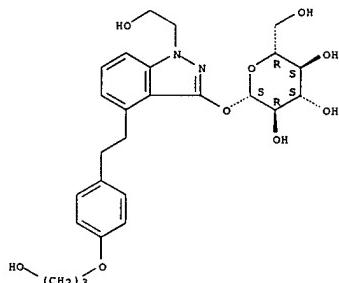
RN 864844-58-6 CAPLUS
CN 1H-Indazole-1-acetamide, 3-(β -D-glucopyranosyloxy)-4-[2-(4-hydroxyphenyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



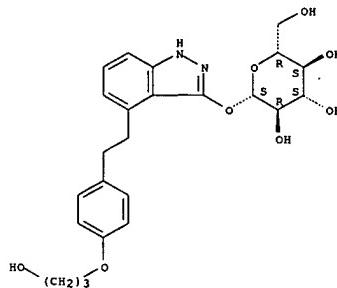
RN 864844-62-2 CAPLUS
CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-[2-[4-(3-hydroxypropoxy)phenyl]ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



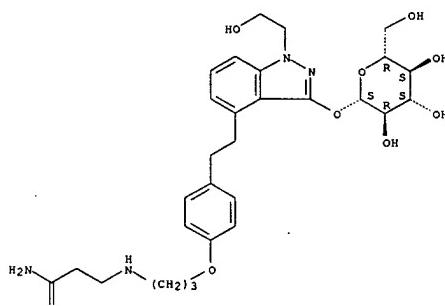
RN 864844-63-3 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-(3-hydroxypropoxy)phenyl)ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



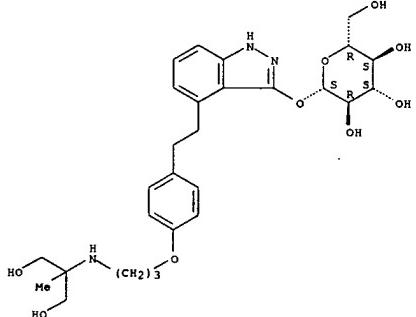
RN 864844-69-9 CAPLUS
CN Propanamide, 3-[[3-[4-[2-[3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl]-1H-indazol-4-yl]ethyl]phenoxy]propyl]amino- (CA INDEX NAME)

Absolute stereochemistry.



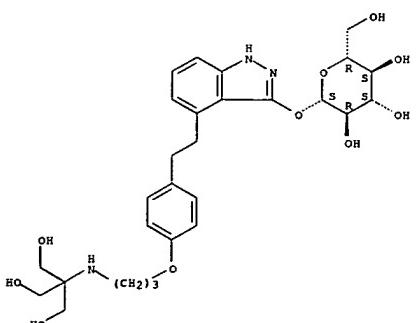
RN 864844-70-2 CAPLUS
CN β -D-Glucopyranoside, 4-[2-[4-[3-[(2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]propoxy]phenyl]ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



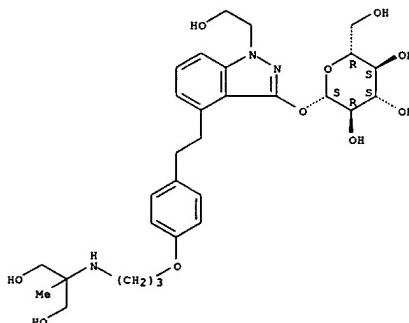
RN 864844-71-3 CAPLUS
CN β -D-Glucopyranoside, 4-[2-[4-[3-[(2-hydroxy-1,1-bis(hydroxymethyl)ethyl)amino]propoxy]phenyl]ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



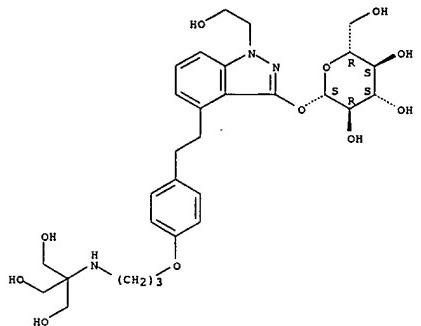
RN 864844-72-4 CAPLUS
CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-[2-[4-[3-[(2-hydroxy-1-hydroxymethyl)-1-methylethyl]amino]propoxy]phenyl]ethyl]-1H-indazol-3-yl

Absolute stereochemistry.



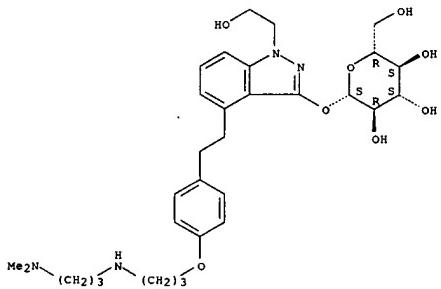
RN 864844-73-5 CAPLUS
CN β -D-Glucopyranoside, 4-[2-[4-[3-[(2-hydroxy-1,1-bis(hydroxymethyl)ethyl)amino]propoxy]phenyl]ethyl]-1-(2-hydroxyethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



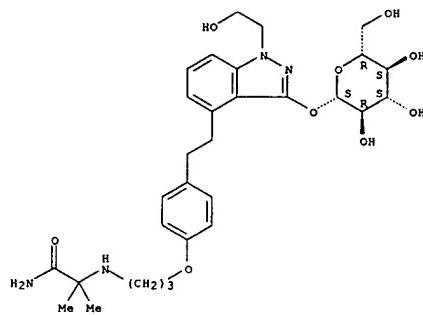
RN 864844-74-6 CAPLUS
CN β -D-Glucopyranoside, 4-[2-[4-[3-[(3-(dimethylamino)propyl)amino]propoxy]phenyl]ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



RN 864844-75-7 CAPLUS
CN Propanamide, 2-[(3-[4-[2-[(3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl]ethyl)phenoxy]propyl)amino]-2-methyl- (CA INDEX NAME)

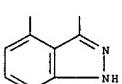
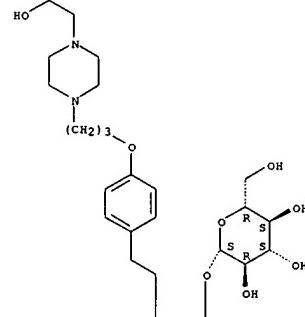
Absolute stereochemistry.



RN 864844-76-8 CAPLUS
CN β -D-Glucopyranoside, 4-[2-[4-[3-[(4-(2-hydroxyethyl)-1-piperazinyl)propoxy]phenyl]ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

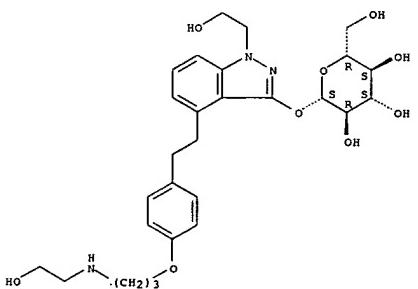
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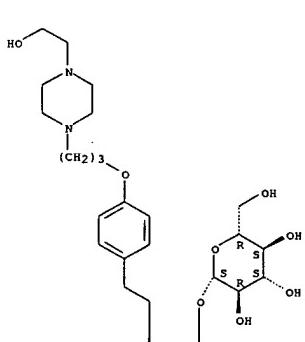
RN 864844-77-9 CAPLUS
CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-[2-[4-[3-[(2-hydroxyethyl)amino]propoxy]phenyl]ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

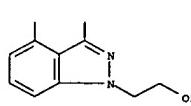


RN 864844-78-0 CAPLUS
CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-[2-[4-[3-[(4-(2-hydroxyethyl)-1-piperazinyl)propoxy]phenyl]ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



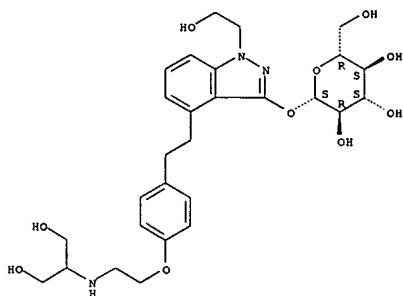
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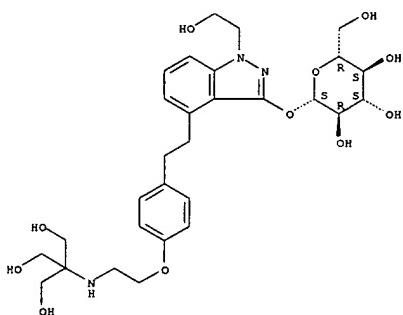
RN 864844-79-1 CAPLUS
CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-[2-[4-[2-[2-hydroxy-1-(hydroxymethyl)ethyl]amino]ethoxy]phenyl]ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



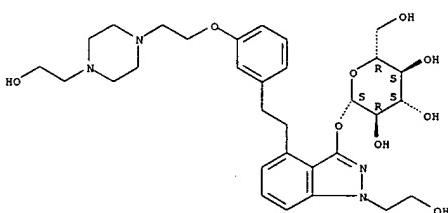
RN 864844-80-4 CAPLUS
CN β -D-Glucopyranoside, 4-[2-[4-[2-[2-hydroxy-1,1-bis(hydroxymethyl)ethyl]amino]ethoxy]phenyl]-1-(2-hydroxyethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



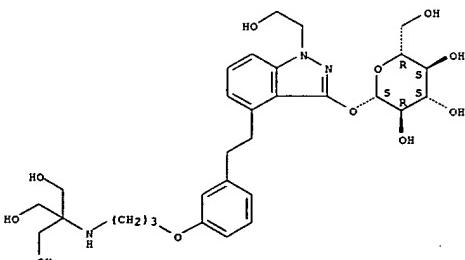
RN 864844-81-5 CAPLUS
CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-[2-[4-[2-(hydroxyethyl)-1-piperazinyl]ethoxy]phenyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



RN 864844-84-8 CAPLUS
CN β -D-Glucopyranoside, 4-[2-[3-[3-[2-hydroxy-1,1-bis(hydroxymethyl)ethyl]amino]propoxy]phenyl]-1-(2-hydroxyethyl)-1H-indazol-3-yl (CA INDEX NAME)

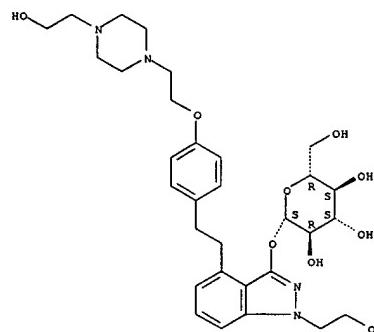
Absolute stereochemistry.



RN 864844-85-9 CAPLUS
CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-[2-[3-[3-(4-(2-hydroxyethyl)-1-piperazinyl)propoxy]phenyl]-1H-indazol-3-yl (CA INDEX NAME)

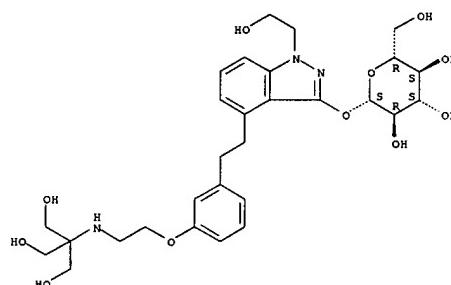
Absolute stereochemistry.

Absolute stereochemistry.

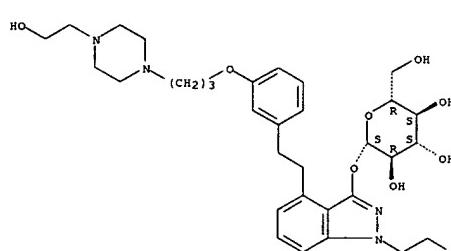


RN 864844-82-6 CAPLUS
CN β -D-Glucopyranoside, 4-[2-[3-[2-[2-hydroxy-1,1-bis(hydroxymethyl)ethyl]amino]ethoxy]phenyl]-1-(2-hydroxyethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

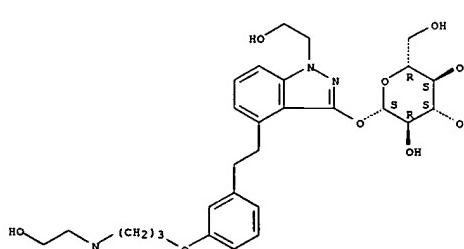


RN 864844-83-7 CAPLUS
CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-[2-[3-[4-(2-hydroxyethyl)-1-piperazinyl]ethoxy]phenyl]-1H-indazol-3-yl (CA INDEX NAME)



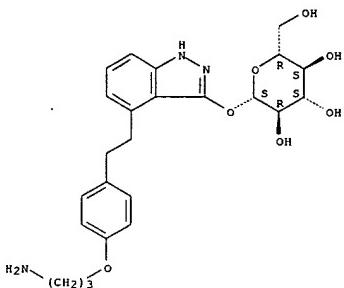
RN 864844-86-0 CAPLUS
CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-[2-[3-[3-[2-hydroxyethyl]amino]propoxy]phenyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



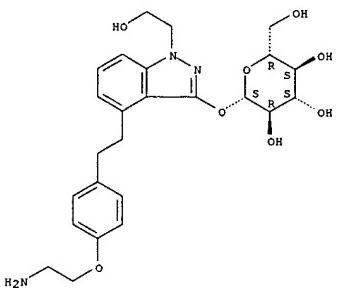
RN 864844-87-1 CAPLUS
CN β -D-Glucopyranoside, 4-[2-[4-(3-aminopropoxy)phenyl]ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



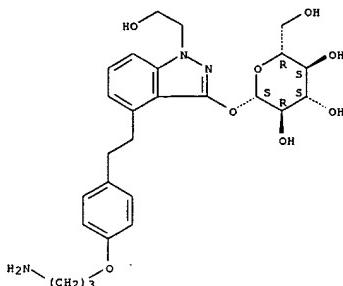
RN 864844-88-2 CAPLUS
 CN β -D-Glucopyranoside, 4-[2-(4-(2-aminoethoxy)phenyl)ethyl]-1-(2-hydroxyethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



RN 864844-89-3 CAPLUS
 CN β -D-Glucopyranoside, 4-[2-(4-(3-aminopropoxy)phenyl)ethyl]-1-(2-hydroxyethyl)-1H-indazol-3-yl (CA INDEX NAME)

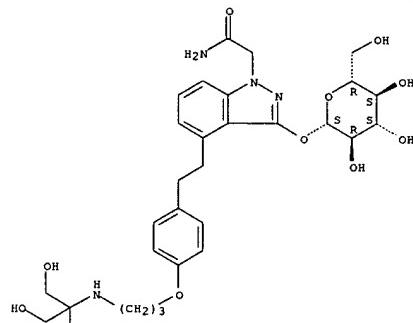
Absolute stereochemistry.



RN 864844-90-6 CAPLUS
 CN 1H-Indazole-1-acetamide, 3-(β -D-glucopyranosyloxy)-4-[2-(4-(3-(2-hydroxy-1,1-bis(hydroxymethyl)ethyl)amino)propoxyl)phenyl]ethyl- (CA INDEX NAME)

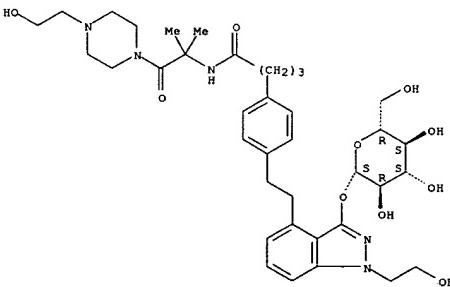
Absolute stereochemistry.

PAGE 1-A



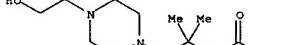
RN 864844-95-1 CAPLUS
 CN Benzenebutanamide, 4-[2-(3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl]ethyl]-N-[2-(4-(2-hydroxyethyl)-1-piperazinyl)-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



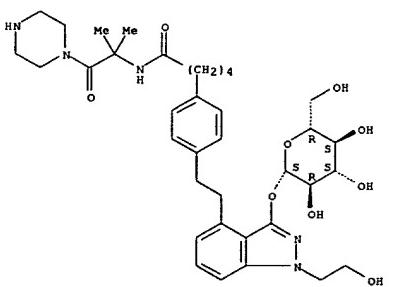
RN 864844-96-2 CAPLUS
 CN Benzenepentanamide, 4-[2-(3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl]ethyl]-N-[2-(4-(2-hydroxyethyl)-1-piperazinyl)-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



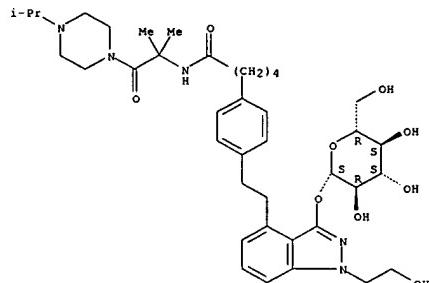
RN 864844-97-3 CAPLUS
 CN Benzenepentanamide, N-[1,1-dimethyl-2-oxo-2-(1-piperazinyl)ethyl]-4-[2-(3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl]ethyl- (CA INDEX NAME)

Absolute stereochemistry.



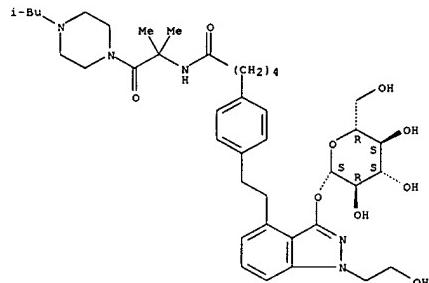
RN 864844-98-4 CAPLUS
 CN Benzenepentanamide, N-[1,1-dimethyl-2-[(1-methylethyl)-1-piperazinyl]-2-oxoethyl]-4-[2-(3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl]ethyl- (CA INDEX NAME)

Absolute stereochemistry.



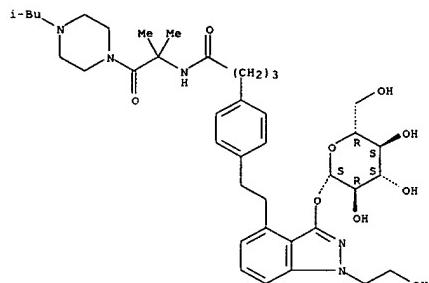
RN 864844-99-5 CAPLUS
CN Benzenepantanamide,
N-[1,1-dimethyl-2-[4-(2-methylpropyl)-1-piperazinyl]-2-oxoethyl]-4-[2-{3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl}ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



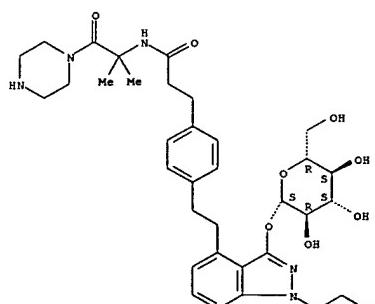
RN 864845-00-1 CAPLUS
CN Benzenebutanamide, N-[1,1-dimethyl-2-oxo-2-(1-piperazinyl)ethyl]-4-[2-{3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl}ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

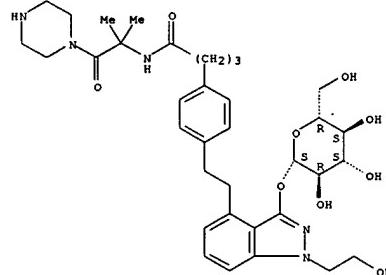


RN 864845-04-5 CAPLUS
CN Benzenepropanamide,
N-[1,1-dimethyl-2-oxo-2-(1-piperazinyl)ethyl]-4-[2-{3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl}ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

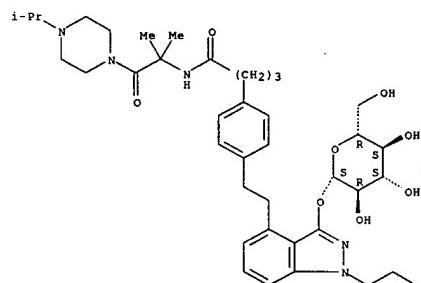


RN 864845-05-6 CAPLUS
CN Benzenepropanamide, 4-[2-{3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl}ethyl]-N-[2-{4-(2-hydroxyethyl)-1-piperazinyl}-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)



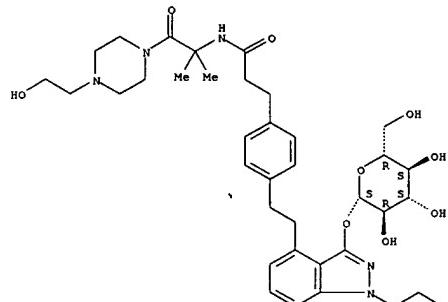
RN 864845-01-2 CAPLUS
CN Benzenebutanamide, N-[1,1-dimethyl-2-[4-(1-methylethyl)-1-piperazinyl]-2-oxoethyl]-4-[2-{3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl}ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



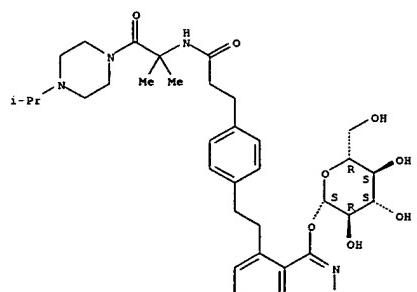
RN 864845-02-3 CAPLUS
CN Benzenebutanamide,
N-[1,1-dimethyl-2-[4-(2-methylpropyl)-1-piperazinyl]-2-oxoethyl]-4-[2-{3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl}ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 864845-06-7 CAPLUS
CN Benzenepropanamide,
N-[1,1-dimethyl-2-[4-(1-methylethyl)-1-piperazinyl]-2-oxoethyl]-4-[2-{3-(β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl}ethyl]- (CA INDEX NAME)

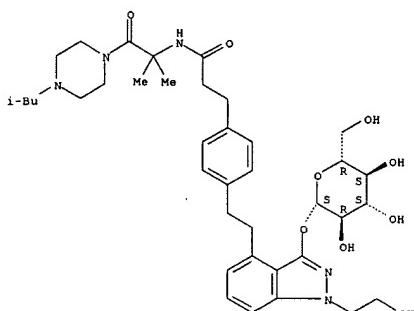
Absolute stereochemistry.



RN 864845-07-8 CAPLUS
CN Benzenepropanamide,
N-[1,1-dimethyl-2-[4-(2-methylpropyl)-1-piperazinyl]-2-

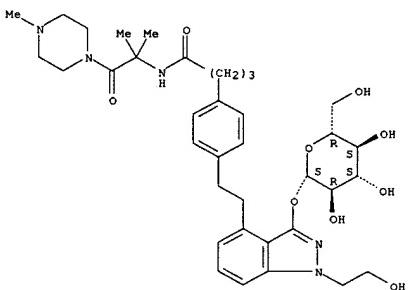
L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Benzenebutanamide, N-[2-(3- β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl]ethyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 864845-08-9 CAPLUS
CN Benzenebutanamide, N-[1,1-dimethyl-2-(4-methyl-1-piperazinyl)-2-oxoethyl]-4-[2-(3- β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl]ethyl- (CA INDEX NAME)

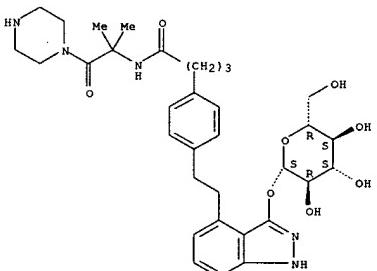
Absolute stereochemistry.



RN 864845-09-0 CAPLUS

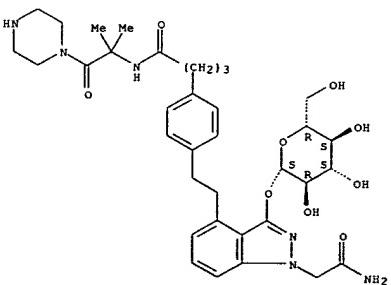
L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Benzenebutanamide, N-[1,1-dimethyl-2-oxo-2-(1-piperazinyl)ethyl]-4-[2-(3- β -D-glucopyranosyloxy)-1H-indazol-4-yl]ethyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 864845-13-6 CAPLUS
CN 1H-Indazole-1-acetamide, 4-[2-(4-[[1,1-dimethyl-2-oxo-2-(1-piperazinyl)ethyl]amino]-4-oxobutyl)phenyl]-3-(β -D-glucopyranosyloxy)- (CA INDEX NAME)

Absolute stereochemistry.

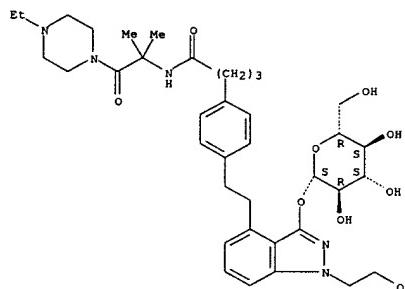


RN 864845-14-7 CAPLUS
CN β -D-Glucopyranoside, 1-(2-hydroxyethyl)-4-(phenylmethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

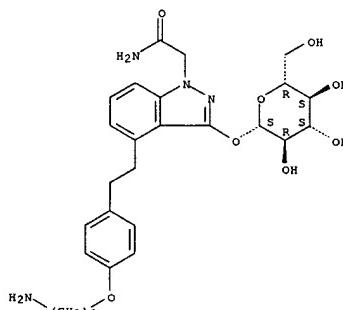
L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Benzenebutanamide, N-[2-(4-ethyl-1-piperazinyl)-1,1-dimethyl-2-oxoethyl]-4-[2-(3- β -D-glucopyranosyloxy)-1-(2-hydroxyethyl)-1H-indazol-4-yl]ethyl- (CA INDEX NAME)

Absolute stereochemistry.



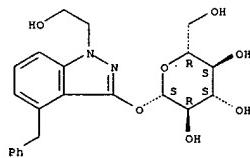
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Absolute stereochemistry.



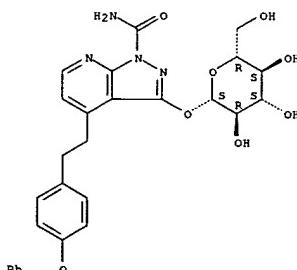
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L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



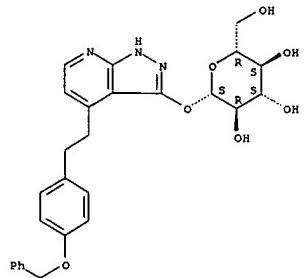
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664945-67-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nitrogenous fused-ring glycoside derivs. as inhibitors of human sodium-dependent glucose transporter (SGLT) for prevention or treatment of hyperglycemia)
RN 864945-32-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-1-carboxamide, 3-(β -D-glucopyranosyloxy)-4-[2-(4-(phenylmethoxy)phenyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



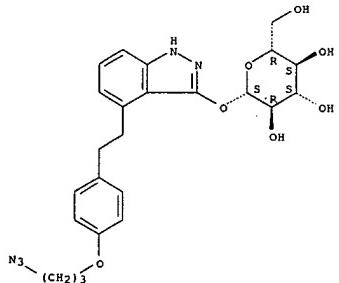
RN 864845-35-2 CAPLUS
CN β -D-Glucopyranoside, 4-[2-(4-(phenylmethoxy)phenyl)ethyl]-1H-pyrazolo[3,4-b]pyridin-3-yl (CA INDEX NAME)

Absolute stereochemistry.



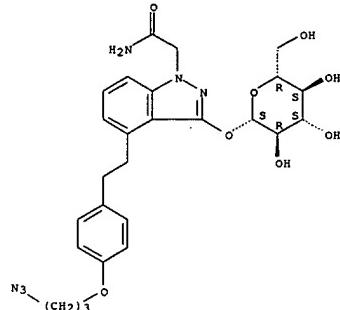
RN 864845-66-9 CAPLUS
 CN β -D-Glucopyranoside, 4-[2-[4-(3-azidopropoxy)phenyl]ethyl]-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



RN 864845-67-0 CAPLUS
 CN 1H-Indazole-1-acetamide, 4-[2-[4-(3-azidopropoxy)phenyl]ethyl]-3-(β -D-glucopyranosyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

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FILE 'REGISTRY' ENTERED AT 13:01:21 ON 12 DEC 2007

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L5 1 S L4 FULL

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NEWS 3 JUL 02 SCISEARCH enhanced with complete author names
NEWS 4 JUL 02 CHEMCATS accession numbers revised
NEWS 5 JUL 02 CA/CAPLUS enhanced with utility model patents from China
NEWS 6 JUL 16 CAPLUS enhanced with French and German abstracts
NEWS 7 JUL 18 CA/CAPLUS patent coverage enhanced
NEWS 8 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 9 JUL 30 USGENE now available on STN
NEWS 10 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 11 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 12 AUG 13 CA/CAPLUS enhanced with additional kind codes for granted patents
NEWS 13 AUG 20 CA/CAPLUS enhanced with CAS indexing in pre-1907 records
NEWS 14 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
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NEWS 16 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 17 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 18 SEP 13 FORIS renamed to SOFIS
NEWS 19 SEP 13 INPADOCDB enhanced with monthly SDI frequency
NEWS 20 SEP 17 CA/CAPLUS enhanced with printed CA page images from 1967-1998
NEWS 21 SEP 17 CAPLUS coverage extended to include traditional medicine patents
NEWS 22 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 23 OCT 02 CA/CAPLUS enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 24 OCT 19 BEILSTEIN updated with new compounds
NEWS 25 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 26 NOV 19 WPIX enhanced with XML display format
NEWS 27 NOV 30 ICSD reloaded with enhancements
NEWS 28 DEC 04 LINPADOCDB now available on STN

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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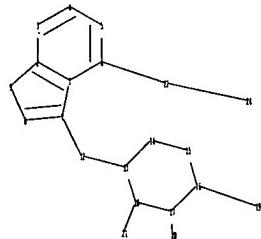
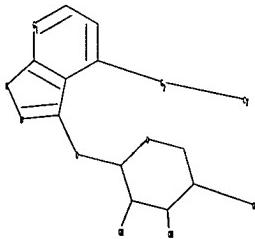
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ring nodes :
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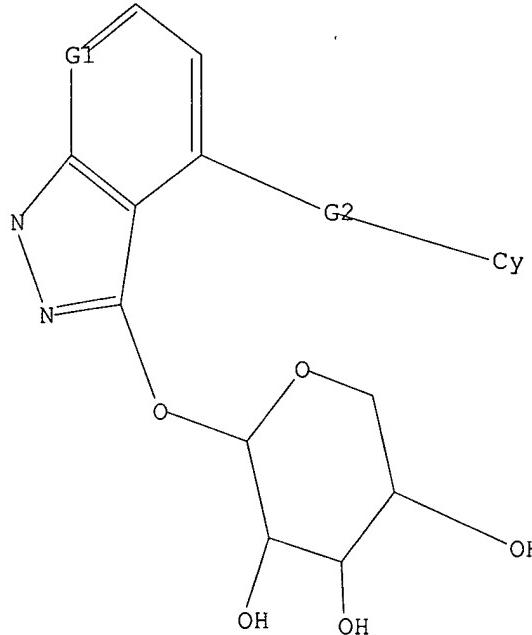
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22:CLASS 24:Atom

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Saturation : Unsaturated

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L1 STR



G1 C,N
G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

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L4 1 L3

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1004761 CAPLUS

DOCUMENT NUMBER: 143:306497

TITLE: Preparation of nitrogenous fused-ring glycoside derivatives as inhibitors of human sodium-dependent glucose transporter (SGLT)

INVENTOR(S): Fushimi, Nobuhiko; Teranishi, Hirotaka; Shimizu, Kazuo; Yonekubo, Shigeru; Ito, Fumaki; Isaji, Masayuki

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

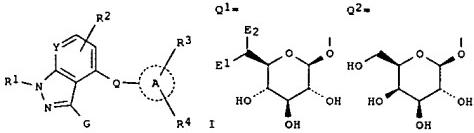
FAMILY ACC. NUM. COUNT: 1

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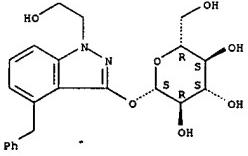
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| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, NS, TD, TG | | | | |
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| CN 1950389 | A | 20070418 | CN 2005-80014287 | 20050303 |
| BR 2005008243 | A | 20070724 | BR 2005-8243 | 20050303 |
| MX 2006PA0989 | A | 20061211 | MX 2006-PA9899 | 20060831 |
| US 2007191289 | A1 | 20070816 | US 2006-591757 | 20060901 |
| IN 2006DN05080 | A | 20070622 | IN 2006-DNS080 | 20060904 |
| PRIORITY APPLN. INFO.: | | | JP 2004-61426 | A 20040304 |
| | | | WO 2005-JP4145 | W 20050303 |

OTHER SOURCE(S): MARPAT 143:306497

GI



L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Nitrogenous fused-ring glycoside derivs. such as

1H-pyrazolo[3,4-b]pyridin-3-yl β-D-glucopyranosides and 1H-indazol-3-yl β-D-glucopyranosides (I) [R1 = H, Cl-6 alkyl, halo-Cl-6 alkyl, (di)hydroxy-Cl-6 alkyl, Cl-6 alkoxy-Cl-6 alkyl, C2-7 alkoxy carbonyl-Cl-6 alkyl, CO2H-Cl-6 alkyl, C2-6 alkenyl, each (un)substituted C3-7 cycloalkyl, C3-7 cycloalkyl-Cl-6 alkyl, C8-10 aryl, or C6-10 aryl-Cl-6 alkyl, etc.; R2 = H, halo, Cl-6 alkyl; R3, R4 = H, HO, halo, Cl-6 alkyl, C2-6 alkenyl, C2-6 alkenyl, Cl-6 alkoxy, C2-6 alkenyloxy, Cl-6 alkylthio, Cl-6 alkenylthio, halo-Cl-6 alkyl, halo-Cl-6 alkoxy, halo-C2-6 alkenyl, hydroxy-Cl-6 alkoxy, etc.; Y = CH, N, O = Cl-6 alkylene, C2-6 alkylene, S-Cl-6 alkylene, Cl-6 alkylene-O-, Cl-6 alkylene-S-, O-Cl-6 alkylene, CONH-Cl-6 alkylene, each N-(un)substituted CONH, NHCO, Cl-6 alkylene-CONH, CONNH-Cl-6 alkylene; the ring A = C6-10 aryl or heteroaryl; G = Q1, Q2; E1 = H, F, OH; E2 = H, F, Me, HOCH2] are prepared. These compds. exert human SGLT1 or SGLT2 inhibiting activity and are useful as suppressants of high serum glucose after eating or as preventive or therapeutic agents for diseases caused by hyperglycemia, for example, diabetes, postprandial hyperglycemia, impaired glucose tolerance, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hyperglyceridemia, lipid metabolism disorder, atherosclerosis, ischemic heart failure, edema, hyperuricemia, and gout. Thus, a mixture of

75 mg 4-bromo-3-(2,3,4,6-tetra-O-pivaloyl-β-D-glucopyranosyloxy)-1H-indazole, 33 mg styrene, 0.073 mL Et3N, 2 mg Pd(OAc)2, 6 mg tris(2-methylphenyl)phosphine, and 2 mL MeCN was refluxed overnight under Ar to give, after silica gel chromatog., 50 mg 4-(E)-2-phenyleth enyl-3-(2,3,4,6-tetra-O-pivaloyl-β-D-glucopyranosyloxy)-1H-indazole which (50 mg) was dissolved in 4 mL THF and hydrogenated in the presence of 10% Pd-C under H atmospheric for 5 h, filtered, and concentrated to give 50

mg 4-(2-phenyleth enyl)-3-(2,3,4,6-tetra-O-pivaloyl-β-D-glucopyranosyloxy)-1H-indazole (II). II was stirred with NaOMe in MeOH at 50° overnight and treated with 0.04 mL AcOH to give, after silica gel chromatog., 21 mg 3-(β-D-glucopyranosyloxy)-4-(2-phenyleth enyl)-1H-indazole (III). III and 3-(β-D-glucopyranosyloxy)-1-(2-hydroxyethyl)-4-(2-phenyleth enyl)-1H-pyrazolo[3,4-b]pyridine showed IC50 of 68 and 90 nM, resp., for inhibiting the uptake of 14C-labeled Me α-D-glucopyranoside CS2-5E cells.

IT 864845-14-7
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrogenous fused-ring glycoside derivs. as inhibitors of human sodium-dependent glucose transporter (SGLT) for prevention or treatment of hyperglycemia)

RN 864845-14-7 CAPLUS
CN β-D-Glucopyranoside, 1-(2-hydroxyethyl)-4-(phenylmethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

=> d his

(FILE 'HOME' ENTERED AT 12:54:46 ON 12 DEC 2007)

FILE 'REGISTRY' ENTERED AT 12:54:57 ON 12 DEC 2007

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 1 S L1 FULL

FILE 'CAPLUS' ENTERED AT 12:56:27 ON 12 DEC 2007

L4 1 S L3 FULL

=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 5.74 | 178.95 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| CA SUBSCRIBER PRICE | -0.78 | -0.78 |

STN INTERNATIONAL LOGOFF AT 12:56:48 ON 12 DEC 2007

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PASSWORD:

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NEWS 3 JUL 02 SCISEARCH enhanced with complete author names
NEWS 4 JUL 02 CHEMCATS accession numbers revised
NEWS 5 JUL 02 CA/CAplus enhanced with utility model patents from China
NEWS 6 JUL 16 CAplus enhanced with French and German abstracts
NEWS 7 JUL 18 CA/CAplus patent coverage enhanced
NEWS 8 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 9 JUL 30 USGENE now available on STN
NEWS 10 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 11 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 12 AUG 13 CA/CAplus enhanced with additional kind codes for granted patents
NEWS 13 AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 14 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 15 AUG 27 USPATOLD now available on STN
NEWS 16 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 17 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 18 SEP 13 FORIS renamed to SOFIS
NEWS 19 SEP 13 INPADOCDB enhanced with monthly SDI frequency
NEWS 20 SEP 17 CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 21 SEP 17 CAplus coverage extended to include traditional medicine patents
NEWS 22 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 23 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 24 OCT 19 BEILSTEIN updated with new compounds
NEWS 25 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 26 NOV 19 WPIX enhanced with XML display format
NEWS 27 NOV 30 ICSD reloaded with enhancements
NEWS 28 DEC 04 LINPADOCDB now available on STN

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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FILE 'HOME' ENTERED AT 13:16:25 ON 12 DEC 2007

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COST IN U.S. DOLLARS SINCE FILE TOTAL
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FULL ESTIMATED COST 0.21 0.21

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DICTIONARY FILE UPDATES: 11 DEC 2007 HIGHEST RN 957570-32-0

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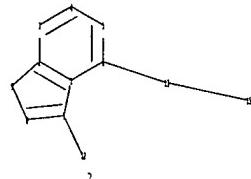
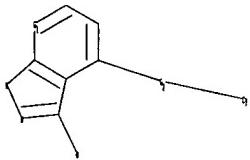
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<http://www.cas.org/support/stngen/stndoc/properties.html>

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ring nodes :  
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chain bonds :  
6-13 7-12 13-15  
ring bonds :  
1-2 1-6 1-7 2-3 2-9 3-4 4-5 5-6 7-8 8-9  
exact/norm bonds :  
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isolated ring systems :  
containing 1 :
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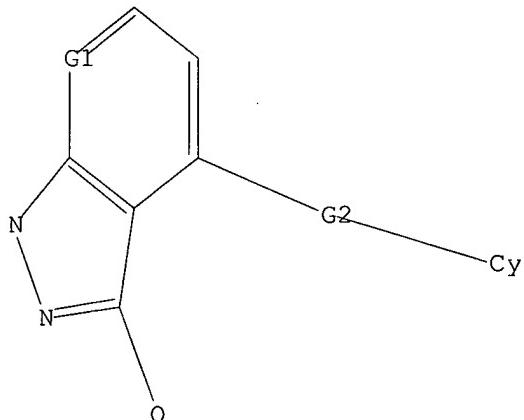
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G2:C,O,S,N

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Match level :  
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13:CLASS 15:Atom  
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15:  
Saturation : Unsaturated
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L1 HAS NO ANSWERS
L1 STR



G1 C,N
G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 319 TO ITERATE

100.0% PROCESSED 319 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5309 TO 7451
PROJECTED ANSWERS: 0 TO 0

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SEARCH TIME: 00.00.01

L3 17 SEA SSS FUL L1

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172.10 172.31

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FILE LAST UPDATED: 11 Dec 2007 (20071211/ED)

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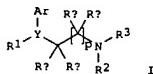
=> s 13 full
L4 5 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007:593127 CAPLUS
 DOCUMENT NUMBER: 147:31098
 TITLE: Preparation of 3-amino-1-arylpropylindoles and
 aza-substituted indoles as biogenic monoamine
 reuptake inhibitors
 INVENTOR(S): Iyer, Pravin; Lucas, Matthew C.; Schoenfeld, Ryan .
 Craig; Villa, Marzia; Weikert, Robert James
 PATENT ASSIGNEE(S): Roche Palo Alto LLC, USA
 SOURCE: U.S. Pat. Appl. Publ., 113pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

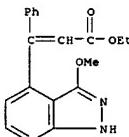
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|-----------------|-----------------|----------|
| US 2007123527 | A1 | 20070531 | US 2006-605528 | 20061129 |
| WO 20062996 | A1 | 20070607 | WO 2006-EP68646 | 20061120 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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GM, KE, LS, MW, MZ, NA, SD, SL, SZ, T2, UG, ZM, ZW, AM, AZ, KG, KZ, MD, RU, TJ, TM | | | | |
| PRIORITY APPLN. INFO.: | | US 2005-741266P | P | 20051130 |

OTHER SOURCE(S): MARPAT 147:31098
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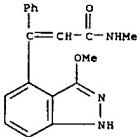
AB The title compds. including 3-amino-1-arylpropylindoles and 3-amino-1-arylpropylindazoles [I; p = 1, 2; Y = N, CRe; Re = H, alkyl; Ar = each (un)substituted indolyl, indazolyl, pyrrole(2,3-b)pyridyl, benzimidazolyl, benzofuranyl, benzothiophenyl, benzoxazolyl, benzothiazolyl, benzoxazolyl, benzisothiazolyl, indolinyl, or 1,3-dihydroindol-2-onyl; R1 = each (un)substituted Ph, naphthyl, indolyl, indazolyl, pyridinyl, thienyl, furanyl, pyrimidinyl, pyridazinyl, pyrazinyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, imidazolyl, pyrazolyl, quinolinyl, isoquinolinyl, quinoxalinyl, benzothiazolyl, benzofuranyl, benzothiophenyl, benzoxazinyl, arylalkyl, or heteroarylalkyl, cycloalkyl, branched alkyl; R2 and R3 = H, alkyl, hydroxalkyl, alkoxyalkyl, benzyl; or R2 and R3 together with the nitrogen to which they are attached may form an optionally substituted four to

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 seven membered ring that optionally includes an addnl. heteroatom selected from N, O, and S; Ra = H, F, alkyl; Rb = H, alkyl, HO, alkoxy, F, hydroxyalkyl; Rc, Rd = H, alkyl; or Rc and Rd together form :O, :S, or :NR; Rf = H, alkyl, HO, alkoxy; or one of R2 and R3 together with one of Ra and Rb or one of Rc and Rd together with the atoms to which they are attached may form a four to six membered ring that optionally includes an addnl. heteroatom selected from O, N and S] or pharmaceutically acceptable salts thereof are prep'd. These compds. are effective as serotonin reuptake inhibitors, norepinephrine reuptake inhibitors, dopamine inhibitors, and/or dual reuptake inhibitors of serotonin, norepinephrine and/or dopamine, or triple reuptake inhibitors of norepinephrine, serotonin, and dopamine and particularly useful for treating depression, anxiety, or a combination thereof mediated by serotonin or norepinephrine neurotransmission or a combination thereof. They may be also useful in the treatment of other diseases such as genitourinary diseases and pain assoc'd. with monoamine reuptake inhibitors. Thus, mesylation of 6-(3-Hydroxy-1-phenylpropyl)-1H-indole-3-carbonitrile by methanesulfonyl chloride in the presence of Et3N in THF/CH2Cl2 at 0° for 2.5 h followed by amination with 33% methylamine/ethanol in a sealed tube at 100° for 45 min gave 6-(3-methylamino-1-phenylpropyl)-1H-indole-3-carbonitrile (II). II showed IC50 of 9.4 μM against the binding of (3H)citalopram to human serotonin transporter (hSERT).
 IT 938061-95-1P, 3-(3-Methoxy-1H-indazol-4-yl)-3-phenylacrylic acid ethyl ester 938061-96-2P, 3-(3-Methoxy-1H-indazol-4-yl)-N-methyl-3-phenylacrylamide 938061-97-3P, 3-(3-Methoxy-1H-indazol-4-yl)-N-methyl-3-phenylpropionamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of 3-amino-1-arylpropylindoles and aza-substituted indoles as biogenic monoamine reuptake inhibitors for treating depression, anxiety, or pain)
 RN 938061-95-1 CAPLUS
 CN 2-Propenoic acid, 3-(3-methoxy-1H-indazol-4-yl)-3-phenyl-, ethyl ester (CA INDEX NAME)

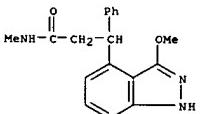


RN 938061-96-2 CAPLUS
 CN 2-Propenamide, 3-(3-methoxy-1H-indazol-4-yl)-N-methyl-3-phenyl- (CA INDEX NAME)

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



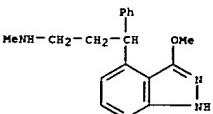
RN 938061-97-3 CAPLUS
 CN 1H-Indazole-4-propanamide, 3-methoxy-N-methyl-β-phenyl- (CA INDEX NAME)



IT 938059-60-0P, N-(3-(3-Methoxy-1H-indazol-4-yl)-3-phenylpropyl)methylaniline monotrifluoroacetate
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of 3-amino-1-arylpropylindoles and aza-substituted indoles as biogenic monoamine reuptake inhibitors for treating depression, anxiety, or pain)
 RN 938059-60-0 CAPLUS
 CN 1H-Indazole-4-propanamine, 3-methoxy-N-methyl-γ-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 938059-59-7
 CMF C18 H21 N3 O



CM 2

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CRN 76-05-1
 CMF C2 H F3 O2



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:194015 CAPLUS

DOCUMENT NUMBER: 144:292768

TITLE: Preparation of 2,4-di(aminophenyl)pyrimides as

protein

PLK1 inhibitors

INVENTOR(S): Stadtmüller, Heinz; Engelhardt, Harald; Steegmaier,

Martin; Baum, Anke; Guertler, Ulrich; Schoop,

Andreas;

Quant, Jens; Solca, Flavio; Hauptmann, Rudolf;

Reiser,

Ulrich; Zahn, Stephan Karl; Herfurth, Lars

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany

SOURCE: PCT Int. Appl., 272 pp.

DOCUMENT TYPE: Patent

LANGUAGE: German

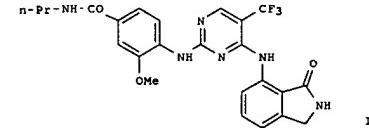
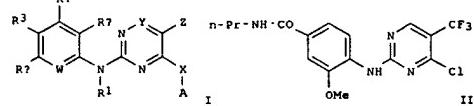
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2006021544 | A1 | 20060302 | WO 2005-EP54089 | 20050818 |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, S2, T2, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| US 2006148800 | A1 | 20060706 | US 2005-206703 | 20050817 |
| AU 2005276500 | A1 | 20060302 | AU 2005-276500 | 20050818 |
| CA 2573371 | A1 | 20060302 | CA 2005-2573371 | 20050818 |
| EP 1781640 | A1 | 20070509 | EP 2005-777896 | 20050818 |
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| CN 101044137 | A | 20070926 | CN 2005-80035995 | 20050818 |
| IN 2007DN00365 | A | 20070803 | IN 2007-DN365 | 20070115 |
| KR 2007048757 | A | 20070509 | KR 2007-704974 | 20070228 |
| PRIORITY APPLN. INFO.: | | | EP 2004-19775 | A 20040820 |
| | | | WO 2005-EP54089 | W 20050818 |

OTHER SOURCE(S): MARPAT 144:292768
GI

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



III

AB Title compds. I [W = N, CR2; X = O, S, NR1a; Y = CH, N; Z = H, halo, NO2, etc.; A = mono or bicyclic aryl ring (sic); R1, R1a = H, CH3; R2 = H, halo, OR4, etc.; R4, RB, Rc = H, halo, -O-, etc.; R4 = H, alkyl, alkenyl, etc.; R3 = CON(R1)COLQ2Q3R7, N(R1)COLQ2Q3R7, etc.; L = bond, alkyl, alkenyl, etc.; Q2, Q3 = bond, alkyl, alkenyl, etc.; R7 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared

For example, coupling of chloropyrimidine II and 7-amino-2,3-dihydroisoindol-1-one afforded diaminophenylpyrimidine III in 33% yield. Compds. I are claimed to be useful for the treatment of diseases characterized by excessive or anomalous cell proliferation.

IT 878143-73-8P 878143-74-9P 878152-65-9P

878152-67-1P

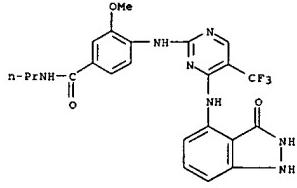
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,4-di(aminophenyl)pyrimides as protein PLK1 inhibitors)

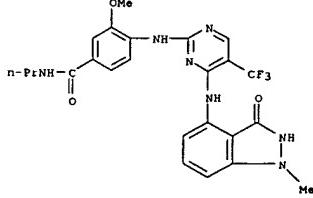
RN 878143-73-8 CAPLUS

CN Benzamide, 4-[(14-[(2,3-dihydro-1-methyl-3-oxo-1H-indazol-4-yl)amino]-5-(trifluoromethyl)-2-pyrimidinyl]amino)-3-methoxy-N-propyl- (CA INDEX NAME)

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 878143-74-9 CAPLUS
CN Benzamide, 4-[(14-[(2,3-dihydro-1-methyl-3-oxo-1H-indazol-4-yl)amino]-5-(trifluoromethyl)-2-pyrimidinyl]amino)-3-methoxy-N-[trans-4-(4-morpholinyl)cyclohexyl]- (CA INDEX NAME)

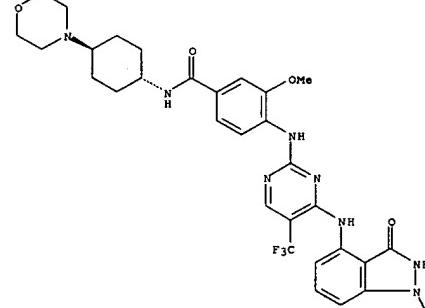


RN 878152-65-9 CAPLUS
CN Benzamide, 4-[(14-[(1-ethyl-2,3-dihydro-3-oxo-1H-indazol-4-yl)amino]-5-(trifluoromethyl)-2-pyrimidinyl]amino)-3-methoxy-N-[trans-4-(4-morpholinyl)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

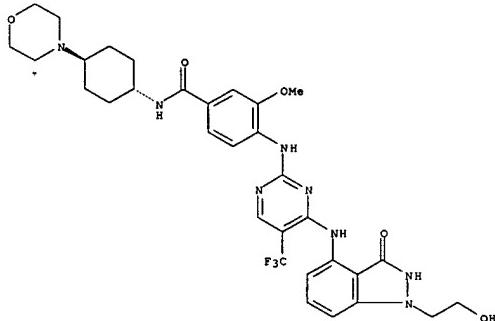
PAGE 1-A



PAGE 2-A

RN 878152-67-1 CAPLUS
CN Benzamide, 4-[(14-[(2,3-dihydro-1-(2-hydroxyethyl)-3-oxo-1H-indazol-4-yl)amino]-5-(trifluoromethyl)-2-pyrimidinyl]amino)-3-methoxy-N-[trans-4-(4-morpholinyl)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

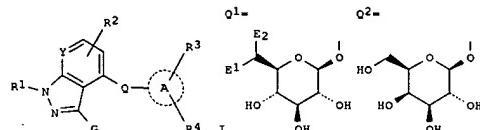
ACCESSION NUMBER: 20051004761 CAPLUS
DOCUMENT NUMBER: 143:306497

TITLE: Preparation of nitrogenous fused-ring glycoside derivatives as inhibitors of human sodium-dependent glucose transporter (SGLT)
INVENTOR(S): Fushimi, Nobuhiko; Teranishi, Hirotaka; Shimizu, Kazuo; Yonekubo, Shigeru; Ito, Fumiaki; Isaji, Masayuki
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|------------|
| WO 2005085267 | A1 | 20050915 | WO 2005-JP4145 | 20050303 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, | | | | |
| ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2005219776 | A1 | 20050915 | AU 2005-219776 | 20050303 |
| CA 2557766 | A1 | 20050915 | CA 2005-2557766 | 20050303 |
| EP 1724278 | A1 | 20061122 | EP 2005-720416 | 20050303 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| CN 1950389 | A | 20070418 | CN 2005-80014287 | 20050303 |
| BR 2005008243 | A | 20070724 | BR 2005-8243 | 20050303 |
| MX 2006PA09899 | A | 20061211 | MX 2006-PA9899 | 20060931 |
| US 2007191289 | A1 | 20070816 | US 2006-591757 | 20060901 |
| IN 2006DNO5080 | A | 20070622 | IN 2006-DN5080 | 20060904 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | JP 2004-61426 | A 20040304 |
| | | | WO 2005-JP4145 | W 20050303 |

OTHER SOURCE(S): MARPAT 143:306497
GI



AB Nitrogenous fused-ring glycoside derivs. such as 1H-pyrazolo[3,4-b]pyridine

3-yl β-D-glucopyranosides and 1H-indazol-3-yl β-D-glucopyranosides (I) ($R1 = H$, Cl-6 alkyl, halo-Cl-6 alkyl, (di)hydroxy-Cl-6 alkyl, Cl-6 alkoxy-Cl-6 alkyl, C2-7 alkoxycarbonyl-Cl-6 alkyl, CO2H-Cl-6 alkyl, C2-6 alkenyl, each (un)substituted C3-7 cycloalkyl, C3-7 cycloalkyl-Cl-6 alkyl, C8-10 aryl, or C6-10 aryl-Cl-6 alkyl, etc.; $R2 = H$, halo-Cl-6 alkyl; $R3, R4 = H$, HO, halo, Cl-6 alkyl, C2-6 alkenyl, C2-6 alkoxy, Cl-6 alkoxy, Cl-6 alkyl, C2-6 alkenylthio, halo-Cl-6 alkyl, halo-Cl-6 alkoxy, halo-C2-6 alkenyl, hydroxy-Cl-6 alkoxy, etc.; $Y = CH_2$, N; $Q = C1-6$ alkylene, C2-6 alkenylene, C2-6 alkenylene-O-, C1-6 alkylene-O-, O-C1-6 alkylene, S-C1-6 alkylene, each N-(un)substituted CONH, NHCO, Cl-6 alkylene-CONH, CONH-Cl-6 alkylene, the ring A = C6-10 aryl or heteroaryl; $G = Q1-Q2$; $E1 = H, F, OH$; $E2 = H, F, Me$; HOC_2) are prepared. These compds. exert human SGLT1 or SGLT2 inhibiting activity and are useful as suppressants of high serum glucose after eating or as preventive or therapeutic agents for diseases caused by hyperglycemia, for example, diabetes, postprandial hyperglycemia, impaired glucose tolerance, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hyperglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, and gout. Thus, a mixture of

75 mg 4-bromo-3-(2,3,4,6-tetra-O-pivaloyl-β-D-glucopyranosyloxy)-1H-indazole, 33 mg styrene, 0.073 ml Et3N, 2 mg Pd(OAc)2, 6 ml tris(2-methylphenyl)phosphine, and 2 ml MeCN was refluxed overnight under Ar to give, after silica gel chromatog., 50 mg 4-[(E)-2-phenylethoxy]-3-(2,3,4,6-tetra-O-pivaloyl-β-D-glucopyranosyloxy)-1H-indazole which (50 mg) was dissolved in 4 ml THF and hydrogenated in the presence of 10% Pd-C under atmospheric for 5 h, filtered, and concentrated to give 50 mg

4-(2-phenylethyl)-3-(2,3,4,6-tetra-O-pivaloyl-β-D-glucopyranosyloxy)-1H-indazole (II). II was stirred with NaOMe in MeOH at 50° overnight and treated with 0.04 mL AcOH to give, after silica gel chromatog., 21 mg 4-(β-D-glucopyranosyloxy)-4-(2-phenylethyl)-1H-indazole (III). III and 3-(β-D-glucopyranosyloxy)-1-(2-hydroxyethyl)-4-(2-phenylethyl)-1H-pyrazolo[3,4-b]pyridine showed IC50 of 68 and 90 nM, resp., for inhibiting the uptake of 14C-labeled Me α-D-glucopyranoside CS5-5B cells.

IT 864845-14-7P

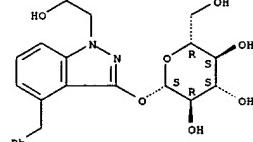
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrogenous fused-ring glycoside derivs. as inhibitors of human sodium-dependent glucose transporter (SGLT) for prevention or treatment of hyperglycemia)

RN 864845-14-7 CAPLUS

CN β-D-Glucopyranoside, 1-(2-hydroxyethyl)-4-(phenylmethyl)-1H-indazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.



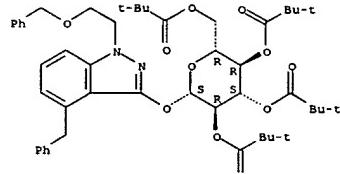
IT 864845-69-2P 864845-70-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of nitrogenous fused-ring glycoside derivs. as inhibitors of human sodium-dependent glucose transporter (SGLT) for prevention or treatment of hyperglycemia)

RN 864845-69-2 CAPLUS

CN β-D-Glucopyranoside, 1-(2-(phenylmethoxy)ethyl)-4-(phenylmethyl)-1H-indazol-3-yl, 2,3,4,6-tetrakis(2,2-dimethylpropanoate) (CA INDEX NAME)

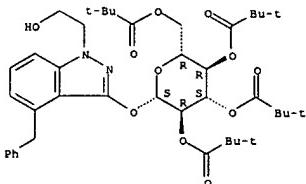
Absolute stereochemistry.



RN 864845-70-5 CAPLUS

CN β-D-Glucopyranoside, 1-(2-hydroxyethyl)-4-(phenylmethyl)-1H-indazol-3-yl, 2,3,4,6-tetrakis(2,2-dimethylpropanoate) (CA INDEX NAME)

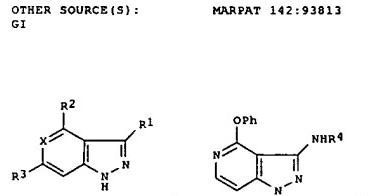
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1154679 CAPLUS
DOCUMENT NUMBER: 142:93813
TITLE: A preparation of indazole and pyrazolopyridine derivatives, useful as JNK inhibitors
INVENTOR(S): Ford, Rhonan; Leroux, Frederic; Stocks, Michael; Swahn, Britt-Marie
PATENT ASSIGNEE(S): Astrazeneca AB, Sweden
SOURCE: PCT Int. Appl., 60 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004113303 | A1 | 20041229 | WO 2004-SE1015 | 20040623 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
R: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRIORITY APPLN. INFO.: | | | SE 2003-1906 | A 20030626 |
| OTHER SOURCE(S): MARPAT 142:93813 | | | GI | |

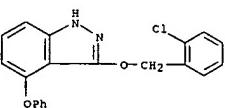


AB The invention relates to a preparation of indazole and pyrazolopyridine derivs. of formula I (wherein: X is N, CH, C=NO₂, or C-CN, etc.; R1 is O-CH₂-aryl, NHC(O)-(H/alkyl), or NH₂, etc.; R2 is H, O-aryl, or NH-aryl, etc.; R3 is H or NH-Ar; Ar is benzene optionally substituted with one or more of alkyl, fluoroalkyl, hydroxylalkyl, etc.), useful as JNK inhibitors. For instance, (benzylamino)pyrazolopyridine derivative II (R4 = 2,5-dimethoxybenzyl) was prepared via phenoxylation of 2-chloro-4-methoxy-3-pyridinecarbonitrile, heterocyclization with hydrazine, and subsequent reductive N-benzylation.

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
of the obtained aminopyrazolopyridine deriv. II (R4 = H) by 2,5-dimethoxybenzaldehyde. Typical Ki values of the invention compds. of formula I are in the range of about 0.001 to about 10000 nM.

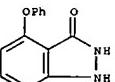
IT 816454-64-5 PRL: PAC (Pharmacological activity); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of indazole and pyrazolopyridine derivs. useful as JNK inhibitors)

RN 816454-64-5 CAPLUS
CN 1H-Indazole, 3-[(2-chlorophenyl)methoxy]-4-phenoxy- (CA INDEX NAME)

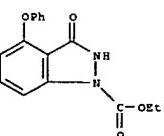


IT 816454-68-9P, 4-Phenoxy-1,2-dihydro-3H-indazol-3-one
916454-70-3P, Ethyl 3-oxo-4-phenoxy-2,3-dihydro-1H-indazole-1-carboxylate 816454-73-6P, Ethyl 3-[(2-chlorobenzyl)oxy]-4-phenoxy-1H-indazole-1-carboxylate
RL: RCF (Reactant); SPM (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation of indazole and pyrazolopyridine derivs. useful as JNK inhibitors)

RN 816454-68-9 CAPLUS
CN 3H-Indazol-3-one, 1,2-dihydro-4-phenoxy- (CA INDEX NAME)

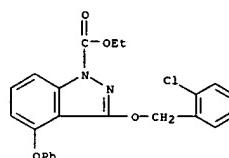


RN 816454-70-3 CAPLUS
CN 1H-Indazole-1-carboxylic acid, 2,3-dihydro-3-oxo-4-phenoxy-, ethyl ester (CA INDEX NAME)



RN 816454-73-6 CAPLUS

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Indazole-1-carboxylic acid, 3-[(2-chlorophenyl)methoxy]-4-phenoxy-ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1972:106429 CAPLUS
 DOCUMENT NUMBER: 76:106429
 ORIGINAL REFERENCE NO.: 76:17113a,17116a
 TITLE: Light-sensitive color photographic film containing an indazolone derivative as purple coupler
 INVENTOR(S): Bois, Immo; Schulte, Walter; Pelz, Willibald
 PATENT ASSIGNEE(S): Agfa-Gevaert A.-G.
 SOURCE: Ger. Offen., 21 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| DE 2032171 | A | 19720113 | DE 1970-2032171 | 19700630 |
| BE 769116 | A2 | 19711228 | BE 1971-3192 | 19710628 |
| GB 1335603 | A | 19731031 | GB 1971-30340 | 19710629 |
| FR 2100089 | A5 | 19720317 | FR 1971-24008 | 19710630 |
| PRIORITY APPLN. INFO.: | | | DE 1970-2032171 | A 19700630 |

AB Previously used indazolones yield, with color developer p-HNC6H4NBu(CH2)4SO3H, dyes with undesirable absorption maximum >580 nm. Brilliant dyes with absorption maximum at 550-570 nm and stable to moist heat

can be obtained with nondiffusing indazolones having a C8-20 alkoxy, aralkoxy, benzylloxy or benzyl substituent with C8-20 alkyl groups. Thus, 6-cetoxindazolone is obtained from 2-nitro-4-hydroxybenzoic acid by etherification with C16H33Br, reduction of the NO2, diazotization and reduction to

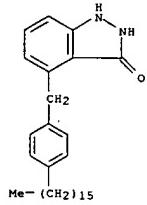
the o-hydrazinobenzoic acid, which undergoes ring closure when boiled in 2N KOH.

IT 36498-68-7P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 36498-68-7 CAPLUS

CN 3H-Indazol-3-one, 4-[(4-hexadecylphenyl)methyl]-1,2-dihydro- (9CI) (CA INDEX NAME)



Me-(CH₂)₁₅

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(FILE 'HOME' ENTERED AT 13:16:25 ON 12 DEC 2007)

FILE 'REGISTRY' ENTERED AT 13:17:14 ON 12 DEC 2007

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 17 S L1 FULL

FILE 'CAPLUS' ENTERED AT 13:17:40 ON 12 DEC 2007

L4 5 S L3 FULL

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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 27.29 | 199.60 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| CA SUBSCRIBER PRICE | -3.90 | -3.90 |

STN INTERNATIONAL LOGOFF AT 13:18:51 ON 12 DEC 2007

EAST Search History

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|-------|------|---|---|------------------|---------|------------------|
| L1 | 1277 | (514/303,514/415,546/119,548/361,1).CCLS. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | OFF | 2007/12/12 14:01 |
| L2 | 0 | ("l1andnitrogenous").PN. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | OFF | 2007/12/12 14:01 |
| L3 | 7 | l1 and nitrogenous | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | OFF | 2007/12/12 14:01 |